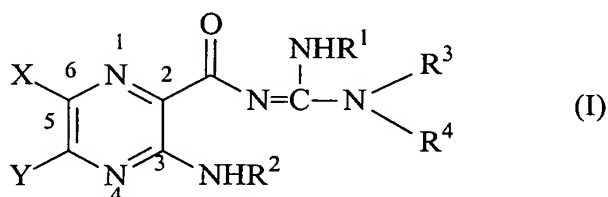


IN THE CLAIMS

Please amend the claims as follows:

Claims 1-208: Canceled.

209. (New) A compound represented by formula (I):



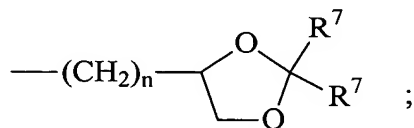
wherein

X is hydrogen, halogen, trifluoromethyl, lower alkyl, unsubstituted or substituted phenyl, lower alkyl-thio, phenyl-lower alkyl-thio, lower alkyl-sulfonyl, or phenyl-lower alkyl-sulfonyl;

Y is hydrogen, hydroxyl, mercapto, lower alkoxy, lower alkyl-thio, halogen, lower alkyl, unsubstituted or substituted mononuclear aryl, or $-N(R^2)_2$;

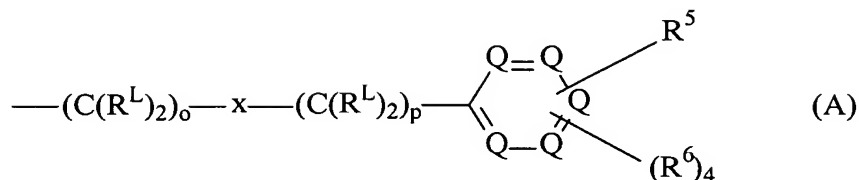
R^1 is hydrogen or lower alkyl;

each R^2 is, independently, $-R^7$, $-(CH_2)_m-OR^8$, $-(CH_2)_m-NR^7R^{10}$, $-(CH_2)_n(CHOR^8)(CHOR^8)_n-CH_2OR^8$, $-(CH_2CH_2O)_m-R^8$, $-(CH_2CH_2O)_m-CH_2CH_2NR^7R^{10}$, $-(CH_2)_n-C(=O)NR^7R^{10}$, $-(CH_2)_n-Z_g-R^7$, $-(CH_2)_m-NR^{10}-CH_2(CHOR^8)(CHOR^8)_n-CH_2OR^8$, $-(CH_2)_n-CO_2R^7$, or



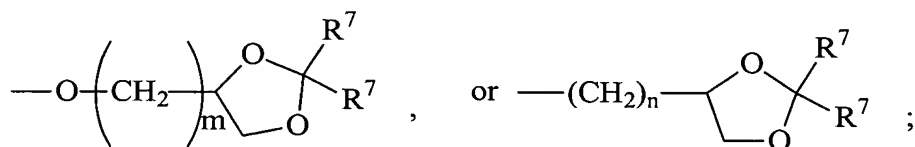
R^3 and R^4 are each, independently, hydrogen, a group represented by formula (A), lower alkyl, hydroxy lower alkyl, phenyl, phenyl-lower alkyl, (halophenyl)-lower alkyl, lower-(alkylphenylalkyl), lower (alkoxyphenyl)-lower alkyl, naphthyl-lower alkyl, or

pyridyl- lower alkyl, with the proviso that at least one of R^3 and R^4 is a group represented by formula (A):



wherein

each R^{L} is, independently, $-\text{R}^7$, $-(\text{CH}_2)_n-\text{OR}^8$, $-\text{O}-(\text{CH}_2)_m-\text{OR}^8$, $-(\text{CH}_2)_n-\text{NR}^7\text{R}^{10}$, $-\text{O}-(\text{CH}_2)_m-\text{NR}^7\text{R}^{10}$, $-(\text{CH}_2)_n(\text{CHOR}^8)(\text{CHOR}^8)_n-\text{CH}_2\text{OR}^8$, $-\text{O}-(\text{CH}_2)_m(\text{CHOR}^8)(\text{CHOR}^8)_n-\text{CH}_2\text{OR}^8$, $-(\text{CH}_2\text{CH}_2\text{O})_m-\text{R}^8$, $-\text{O}-(\text{CH}_2\text{CH}_2\text{O})_m-\text{R}^8$, $-(\text{CH}_2\text{CH}_2\text{O})_m-\text{CH}_2\text{CH}_2\text{NR}^7\text{R}^{10}$, $-\text{O}-(\text{CH}_2\text{CH}_2\text{O})_m-\text{CH}_2\text{CH}_2\text{NR}^7\text{R}^{10}$, $-(\text{CH}_2)_n-\text{C}(=\text{O})\text{NR}^7\text{R}^{10}$, $-\text{O}-(\text{CH}_2)_m-\text{C}(=\text{O})\text{NR}^7\text{R}^{10}$, $-(\text{CH}_2)_n-(\text{Z})_g-\text{R}^7$, $-\text{O}-(\text{CH}_2)_m-(\text{Z})_g-\text{R}^7$, $-(\text{CH}_2)_n-\text{NR}^{10}-\text{CH}_2(\text{CHOR}^8)(\text{CHOR}^8)_n-\text{CH}_2\text{OR}^8$, $-\text{O}-(\text{CH}_2)_m-\text{NR}^{10}-\text{CH}_2(\text{CHOR}^8)(\text{CHOR}^8)_n-\text{CH}_2\text{OR}^8$, $-(\text{CH}_2)_n-\text{CO}_2\text{R}^7$, $-\text{O}-(\text{CH}_2)_m-\text{CO}_2\text{R}^7$, $-\text{OSO}_3\text{H}$, $-\text{O-glucuronide}$, $-\text{O-glucose}$,



each o is, independently, an integer from 0 to 10;

each p is an integer from 0 to 10;

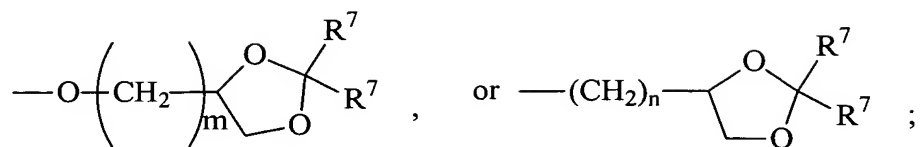
with the proviso that the sum of o and p in each contiguous chain is from 1 to 10;

each x is, independently, O , NR^{10} , $\text{C}(=\text{O})$, CHOH , $\text{C}(=\text{N}-\text{R}^{10})$, $\text{CHNR}^7\text{R}^{10}$, or represents a single bond;

each R^5 is, independently, $-\text{O}-\text{CH}_2-\text{C}(=\text{O})\text{NH}-(\text{C}=\text{O})\text{CH}_3$, $-(\text{CH}_2)_n-(\text{C}=\text{NH})-\text{NH}_2$, $-(\text{CH}_2)_n-\text{NH}-\text{C}(=\text{NH})-\text{NH}_2$, $-(\text{CH}_2)_n-\text{CONHCH}_2(\text{CHOH})_n-\text{CH}_2\text{OH}$, $-\text{NH}-\text{C}(=\text{O})-$

$\text{CH}_2-(\text{CHOH})_n\text{CH}_2\text{OH}$, $-\text{NH}-(\text{C}=\text{O})-\text{NH}-\text{CH}_2(\text{CHOH})_n\text{CHOH}$, $-\text{O}-(\text{CH}_2)_m-\text{NH}-\text{C}(\text{=NH})-\text{N}(\text{R}^7)_2$, $-\text{O}-(\text{CH}_2)_m-\text{CHNH}_2-\text{CONR}^7\text{R}^{10}$, $-\text{O}-\text{CH}_2\text{CHOHCH}_2\text{O}-\text{glucuronide}$, $-\text{OCH}_2\text{CO}_2\text{H}$, $-\text{NHCH}_2(\text{CHOH})_2-\text{CH}_2\text{OH}$, $-\text{OCH}_2\text{CO}_2\text{Et}$, $-\text{NHSO}_2\text{CH}_3$, $-\text{O}-\text{CH}_2\text{C}(\text{=O})\text{NH}_2$, $-\text{CH}_2\text{NH}_2$, $-\text{NHCO}_2\text{Et}$, $-\text{OCH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{OH}$, $-\text{CH}_2\text{NHSO}_2\text{CH}_3$, $-\text{OCH}_2\text{CH}_2\text{CHOHCH}_2\text{OH}$, $-\text{OCH}_2\text{CH}_2\text{NHCO}_2\text{Et}$, $-\text{NH}-\text{C}(\text{=NH}_2)-\text{NH}_2$, $-\text{CH}_2\text{CH}-\text{CH}-\text{CH}_2\text{OH}$, $-\text{CH}_2-\text{CHOH}-\text{CH}_2-\text{NHBoc}$, $-\text{O}-\text{CH}_2-\text{CHOH}-\text{CH}_2-\text{NHBoc}$, $-\text{OCH}_2\text{CH}_2\text{CH}_2\text{NH}_2$, $-\text{OCH}_2\text{CH}_2\text{NHCH}_2(\text{CHOH})_2\text{CH}_2\text{OH}$, $-\text{OCH}_2\text{CH}_2\text{NH}(\text{CH}_2[(\text{CHOH})_2\text{CH}_2\text{OH}])_2$, $-(\text{CH}_2)_4-\text{NHBoc}$, $-(\text{CH}_2)_4-\text{NH}_2$, $-(\text{CH}_2)_4-\text{OH}$, $-\text{OCH}_2\text{CH}_2\text{NHSO}_2\text{CH}_3$, $-(\text{CH}_2)_3-\text{NHBoc}$, $-(\text{CH}_2)_3-\text{NH}_2$, $-\text{O}-\text{CH}_2-\text{CHOH}-\text{CH}_2-\text{NH}-\text{C}(\text{=NH})-\text{N}(\text{R}^7)_2$, *para*-(CH_2)₄-OH, *para*-O-(CH_2)₄-OH, *para*-NHSO₂CH₃, *para*-CH₂NH(C=O)O-C(CH₃)₃, *para*-NH(C=O)CH₃, *para*-CH₂NH₂, *para*-NH-CO₂C₂H₅, *para*-CH₂NH(C=O)CH₃, *para*-CH₂NHCO₂CH₃, *para*-CH₂NHSO₂CH₃, *para*-(CH₂)₄-NH(C=O)OC(CH₃)₃, *para*-(CH₂)₄-NH₂, *para*-(CH₂)₃-NH(C=O)OC(CH₃)₃, *para*-(CH₂)₃-NH₂, *para*-OCH₂CH₂NHCO₂C(CH₃)₃, *para*-OCH₂CH₂NHCO₂C₂H₅, *para*-O-(CH₂)₃-NH-CO₂C(CH₃)₃, *para*-O(CH₂)₃-NH₂, *para*-OCH₂CH₂NHSO₂CH₃, *para*-OCH₂CHOHCH₂O-glucuronide, *para*-OCH₂CH₂CHOHCH₂OH, *para*-OCH₂-(α -CHOH)₂CH₂OH, *para*-OCH₂-(CHOH)₂CH₂OH, *para*-C(=O)NH₂, *para*-O-CH₂-(C=O)NHCH₂CHOH, *para*-O-CH₂-(C=O)NHCH₂CHOHCH₂OH, *para*-O-CH₂(C=O)NHCH₂(CHOH)₂CH₂OH, *para*-O-CH₂(C=O)NHSO₂CH₃, *para*-O-CH₂(C=O)NHCO₂CH₃, *para*-O-CH₂-(C=O)NH-C(C=O)NH₂, *para*-(C=NH)-NH₂, *para*-(CH₂)₃-NH-C(=NH)-NH₂, *para*-CH₂NH-C(=NH)-NH₂, *para*-NH(C=O)NHCH₂CH₂OH, *para*-O(CH₂)₃-NH-C(=NH)-NH₂, *para*-OCH₂-CHNH₂-CONH₂, *para*-OCH₂CHOH-CH₂NHCO₂C(CH₃)₃, *para*-NHCH₂(CHOH)₂CH₂OH, *para*-OCH₂CO₂C(CH₃)₃, *para*-OCH₂CO₂H, or *para*-OCH₂CO₂C₂H₅;

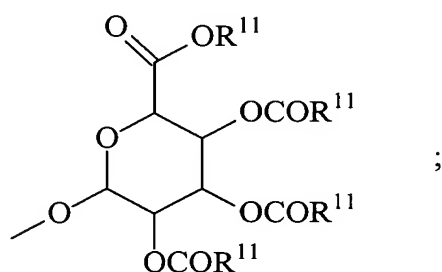
each R⁶ is, independently, -R⁷, -OR¹¹, -N(R⁷)₂, -(CH₂)_m-OR⁸, -O-(CH₂)_m-OR⁸, -(CH₂)_n-NR⁷R¹⁰, -O-(CH₂)_m-NR⁷R¹⁰, -(CH₂)_n(CHOR⁸)(CHOR⁸)_n-CH₂OR⁸, -O-(CH₂)_m(CHOR⁸)(CHOR⁸)_n-CH₂OR⁸, -(CH₂CH₂O)_m-R⁸, -O-(CH₂CH₂O)_m-R⁸, -(CH₂CH₂O)_m-CH₂CH₂NR⁷R¹⁰, -O-(CH₂CH₂O)_m-CH₂CH₂NR⁷R¹⁰, -(CH₂)_n-C(=O)NR⁷R¹⁰, -O-(CH₂)_m-C(=O)NR⁷R¹⁰, -(CH₂)_n-(Z)_g-R⁷, -O-(CH₂)_m-(Z)_g-R⁷, -(CH₂)_n-NR¹⁰-CH₂(CHOR⁸)(CHOR⁸)_n-CH₂OR⁸, -O-(CH₂)_m-NR¹⁰-CH₂(CHOR⁸)(CHOR⁸)_n-CH₂OR⁸, -(CH₂)_n-CO₂R⁷, -O-(CH₂)_m-CO₂R⁷, -OSO₃H, -O-glucuronide, -O-glucose,



wherein when two R^6 are $-\text{OR}^{11}$ and are located adjacent to each other on a phenyl ring, the alkyl moieties of the two R^6 may be bonded together to form a methylenedioxy group;

each R^7 is, independently, hydrogen or lower alkyl;

each R^8 is, independently, hydrogen, lower alkyl, $-\text{C}(=\text{O})-\text{R}^{11}$, glucuronide, 2-tetrahydropyranyl, or



each R^9 is, independently, $-\text{CO}_2\text{R}^7$, $-\text{CON}(\text{R}^7)_2$, $-\text{SO}_2\text{CH}_3$, or $-\text{C}(=\text{O})\text{R}^7$;

each R^{10} is, independently, $-\text{H}$, $-\text{SO}_2\text{CH}_3$, $-\text{CO}_2\text{R}^7$, $-\text{C}(=\text{O})\text{NR}^7\text{R}^9$, $-\text{C}(=\text{O})\text{R}^7$, or $-\text{CH}_2-(\text{CHOH})_n-\text{CH}_2\text{OH}$;

each Z is, independently, CHOH , $\text{C}(=\text{O})$, $\text{CHNR}^7\text{R}^{10}$, $\text{C}=\text{NR}^{10}$, or NR^{10} ;

each R^{11} is, independently, lower alkyl;

each g is, independently, an integer from 1 to 6;

each m is, independently, an integer from 1 to 7;

each n is, independently, an integer from 0 to 7;

each Q is, independently, $\text{C}-\text{R}^5$, $\text{C}-\text{R}^6$, or a nitrogen atom, wherein at most three Q in a ring are nitrogen atoms;

or a pharmaceutically acceptable salt thereof, and

inclusive of all enantiomers, diastereomers, and racemic mixtures thereof.

210. (New) The compound of Claim 209, wherein Y is $-\text{NH}_2$.

211. (New) The compound of Claim 210, wherein R^2 is hydrogen.
212. (New) The compound of Claim 211, wherein R^1 is hydrogen.
213. (New) The compound of Claim 212, wherein X is chlorine.
214. (New) The compound of Claim 213, wherein R^3 is hydrogen.
215. (New) The compound of Claim 214, wherein each R^L is hydrogen.
216. (New) The compound of Claim 215, wherein o is 4.
217. (New) The compound of Claim 216, wherein p is 0.
218. (New) The compound of Claim 217, wherein x represents a single bond.
219. (New) The compound of Claim 218, wherein each R^6 is hydrogen.
220. (New) The compound of Claim 219, wherein at most one Q is a nitrogen atom.
221. (New) The compound of Claim 220, wherein no Q is a nitrogen atom.
222. (New) The compound of Claim 209, wherein R^5 is para- $(CH_2)_4-OH$.
223. (New) The compound of Claim 209, wherein R^5 is para- $O-(CH_2)_4-OH$.
224. (New) The compound of Claim 209, wherein R^5 is para- $NHSO_2CH_3$.
225. (New) The compound of Claim 209, wherein R^5 is para- $CH_2NH(C=O)-OC(CH_3)_3$.
226. (New) The compound of Claim 209, wherein R^5 is para- $NH(C=O)CH_3$.

227. (New) The compound of Claim 209, wherein R^5 is para- CH_2NH_2 .
228. (New) The compound of Claim 209, wherein R^5 is para- $\text{NH-CO}_2\text{C}_2\text{H}_5$.
229. (New) The compound of Claim 209, wherein R^5 is para- $\text{CH}_2\text{NH}(\text{C}=\text{O})\text{CH}_3$.
230. (New) The compound of Claim 209, wherein R^5 is para- $\text{CH}_2\text{NHCO}_2\text{CH}_3$.
231. (New) The compound of Claim 209, wherein R^5 is para- $\text{CH}_2\text{NHSO}_2\text{CH}_3$.
232. (New) The compound of Claim 209, wherein R^5 is para- $(\text{CH}_2)_4\text{-NH}(\text{C}=\text{O})\text{OC}(\text{CH}_3)_3$.
233. (New) The compound of Claim 209, wherein R^5 is para- $(\text{CH}_2)_4\text{-NH}_2$.
234. (New) The compound of Claim 209, wherein R^5 is para- $(\text{CH}_2)_3\text{-NH}(\text{C}=\text{O})\text{OC}(\text{CH}_3)_3$.
235. (New) The compound of Claim 209, wherein R^5 is para- $(\text{CH}_2)_3\text{-NH}_2$.
236. (New) The compound of Claim 209, wherein R^5 is para- $\text{OCH}_2\text{CH}_2\text{NHCO}_2\text{C}(\text{CH}_3)_3$.
237. (New) The compound of Claim 209, wherein R^5 is para- $\text{OCH}_2\text{CH}_2\text{NHCO}_2\text{C}_2\text{H}_5$.
238. (New) The compound of Claim 209, wherein R^5 is para- $\text{O}-(\text{CH}_2)_3\text{-NH-CO}_2\text{-C}(\text{CH}_3)_3$.
239. (New) The compound of Claim 209, wherein R^5 is para- $\text{O}(\text{CH}_2)_3\text{-NH}_2$.
240. (New) The compound of Claim 209, wherein R^5 is para- $\text{OCH}_2\text{CH}_2\text{NHSO}_2\text{CH}_3$.

241. (New) The compound of Claim 209, wherein R⁵ is para-OCH₂CHOHCH₂O-glucuronide.

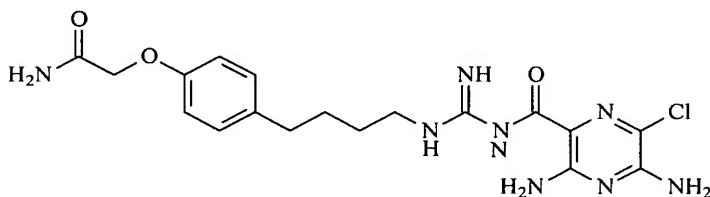
242. (New) The compound of Claim 209, wherein R⁵ is para-OCH₂CH₂CHOHCH₂OH.

243. (New) The compound of Claim 209, wherein R⁵ is para-OCH₂-(α -CHOH)₂CH₂OH.

244. (New) The compound of Claim 209, wherein R⁵ is para-OCH₂-(CHOH)₂CH₂OH.

245. (New) The compound of Claim 209, wherein R⁵ is para-C(=O)NH₂.

246. (New) The compound of Claim 209, which is represented by the formula:



247. (New) The compound of Claim 209, which is the methane sulfonic acid salt.

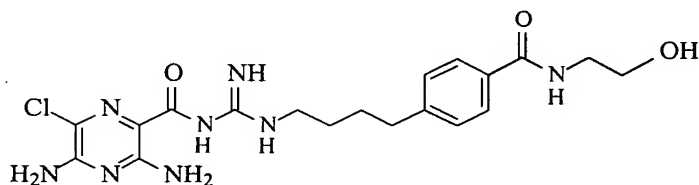
248. (New) The compound of Claim 209, wherein R⁵ is para-O-CH₂-(C=O)NHCH₂CHOH.

249. (New) The compound of Claim 209, wherein R⁵ is para-O-CH₂-(C=O)NHCH₂CHOHCH₂OH.

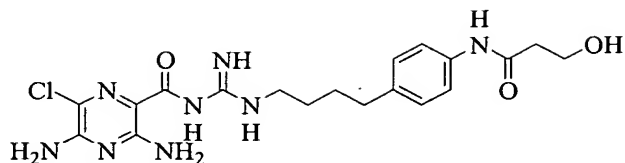
250. The compound of Claim 209, wherein R⁵ is para-O-CH₂-(C=O)NHCH₂(CHOH)₂CH₂OH.

251. The compound of Claim 209, wherein R⁵ is para-O-CH₂(C=O)NHSO₂CH₃.

252. The compound of Claim 209, wherein R^5 is para-O-CH₂(C=O)NHCO₂CH₃.
253. The compound of Claim 209, wherein R^5 is para-O-CH₂-(C=O)NH-C(C=O)NH₂.
254. The compound of Claim 209, wherein R^5 is -O-CH₂-(C=O)NH-(C=O)CH₃.
255. The compound of Claim 209, wherein R^5 is (CH₂)_n-(C=NH)-NH₂.
256. The compound of Claim 209, wherein R^5 is para-(C=NH)-NH₂.
257. (New) The compound of Claim 209, wherein R^5 is (CH₂)_n-NH-C(=NH)-NH₂.
258. (New) The compound of Claim 209, wherein R^5 is para-(CH₂)₃-NH-C(=NH)-NH₂.
259. (New) The compound of Claim 209, wherein R^5 is para-CH₂NH-C(=NH)-NH₂.
260. (New) The compound of Claim 209, wherein R^5 is (CH₂)_n-CONHCH₂(CHOH)_n-CH₂OH.
261. (New) The compound of Claim 209, which is represented by the formula:



- 262.. (New) The compound of Claim 209, wherein R^5 is NH-C(=O)-CH₂-(CHOH)_nCH₂OH.
263. (New) The compound of Claim 209, which is represented by the formula:

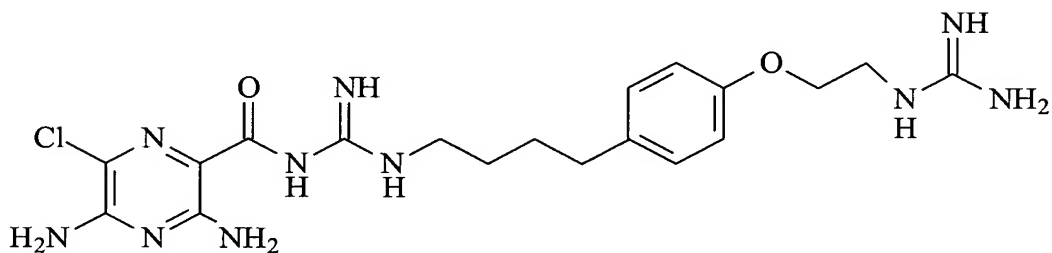


264. (New) The compound of Claim 209, wherein R^5 is $-\text{NH}-(\text{C}=\text{O})-\text{NH}-\text{CH}_2(\text{CHOH})_n\text{CHOH}$.

265. (New) The compound of Claim 209, wherein R^5 is $\text{para-NH}(\text{C}=\text{O})\text{NHCH}_2\text{CH}_2\text{OH}$.

266. (New) The compound of Claim 209, wherein R^5 is $-\text{O}-(\text{CH}_2)_m-\text{NH}-\text{C}(=\text{NH})-\text{N}(\text{R}^7)_2$.

267. (New) The compound of Claim 209, which is represented by the formula:



268. (New) The compound of Claim 209, wherein R^5 is $\text{para-O}(\text{CH}_2)_3-\text{NH}-\text{C}(=\text{NH})-\text{NH}_2$.

269. (New) The compound of Claim 209, wherein R^5 is $-\text{O}-(\text{CH}_2)_m-\text{CHNH}_2-\text{CONR}^7\text{R}^{10}$.

270. (New) The compound of Claim 209, wherein R^5 is $\text{para-OCH}_2-\text{CHNH}_2-\text{CONH}_2$.

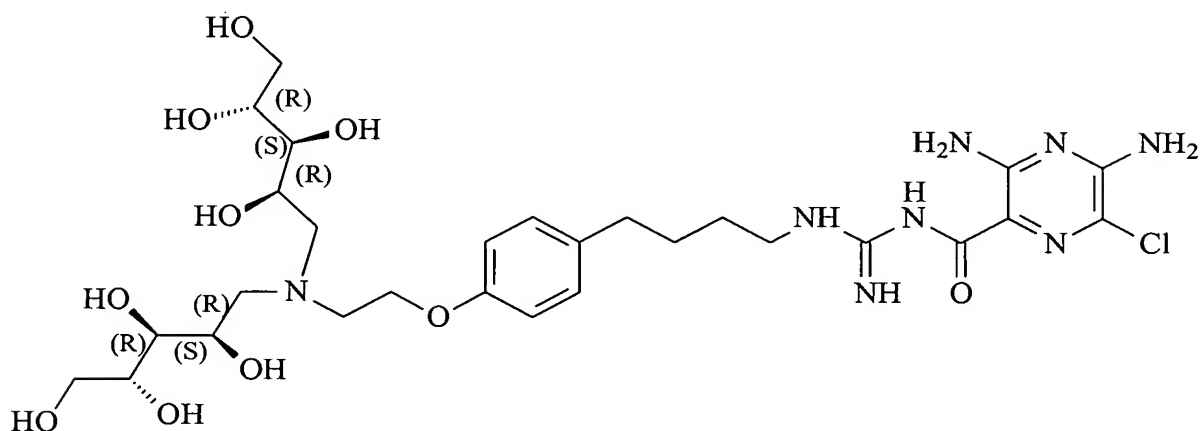
271. (New) The compound of Claim 209, which is the (R) enantiomer.

272. (New) The compound of Claim 209, which is the (S) enantiomer.

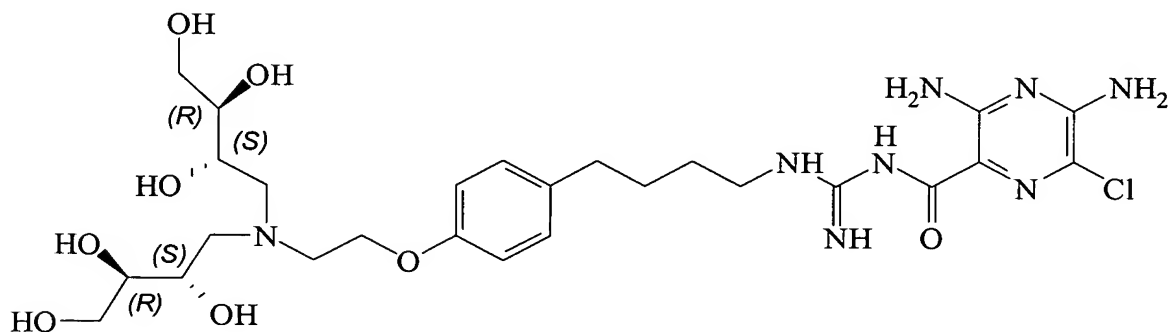
273. (New) The compound of Claim 209, wherein R⁵ is para-OCH₂CHOH-CH₂NHCO₂C(CH₃)₃.

274. (New) The compound of Claim 209, wherein R⁵ is para-NHCH₂(CHOH)₂CH₂OH.

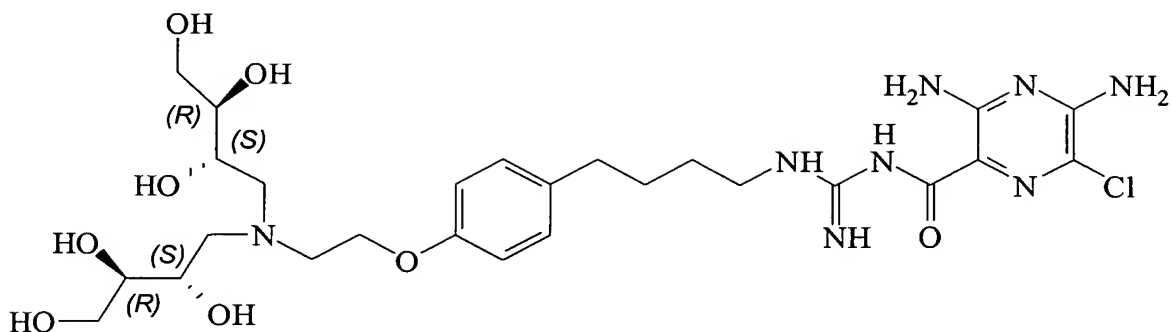
275. (New) The compound of Claim 209, which is represented by the formula:



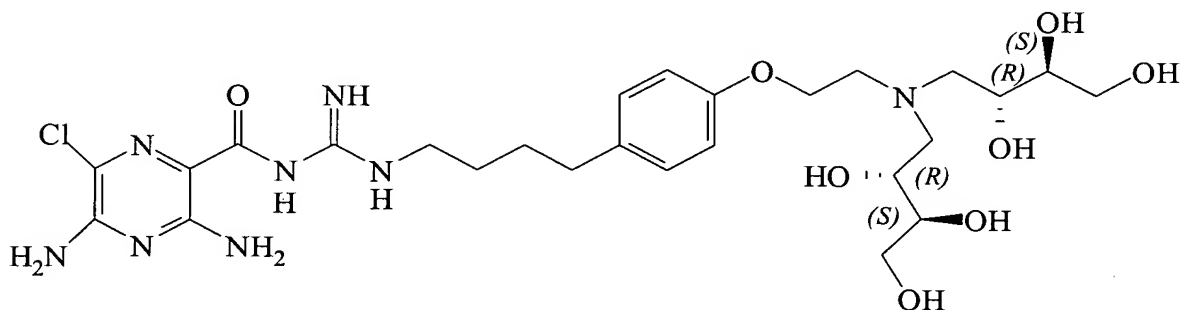
276. (New) The compound of Claim 209, which is represented by the formula:



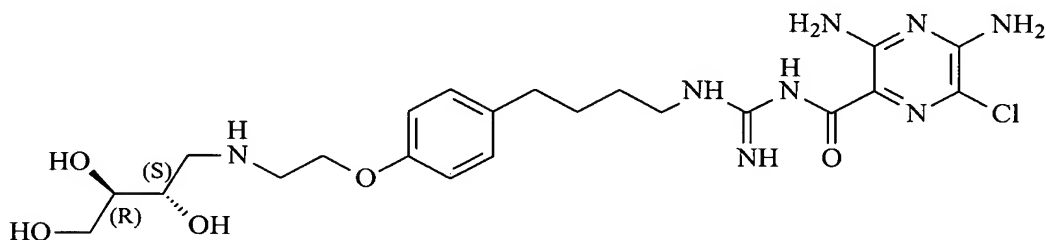
277. (New) The compound of Claim 209, which is represented by the formula:



278. The compound of Claim 209, which is represented by the formula:



279. (New) The compound of Claim 209, which is represented by the formula:



280. (New) The compound of Claim 209, wherein R^5 is para-OCH₂CO₂C(CH₃)₃.

281. (New) The compound of Claim 209, wherein R^5 is para-OCH₂CO₂H.

282. (New) The compound of Claim 209, wherein R^5 is para-OCH₂CO₂C₂H₅.

283. (New) The compound of Claim 209, wherein
X is halogen;

Y is $-N(R^7)_2$;

R^1 is hydrogen or C_1 - C_3 alkyl;

R^2 is $-R^7$, $-(CH_2)_m-OR^8$, or $-(CH_2)_n-CO_2R^7$;

R^3 is a group represented by formula (A); and

R^4 is hydrogen, a group represented by formula (A), or lower alkyl.

284. (New) The compound of Claim 209, wherein

X is chloro or bromo;

Y is $-N(R^7)_2$;

R^2 is hydrogen or C_1 - C_3 alkyl;

at most three R^6 are other than hydrogen as defined above;

at most three R^L are other than hydrogen as defined above; and

at most 2 Q are nitrogen atoms.

285. (New) The compound of Claim 209, wherein Y is $-NH_2$.

286. (New) The compound of Claim 209, wherein R^4 is hydrogen;

at most one R^L is other than hydrogen as defined above;

at most two R^6 are other than hydrogen as defined above; and

at most 1 Q is a nitrogen atom.

287. (New) The compound of Claim 209, wherein R^5 is

$-O-CH_2CHOHCH_2O$ -glucuronide,

$-OCH_2CO_2H$,

$-NHCH_2(CHOH)_2-CH_2OH$,

$-OCH_2CO_2Et$,

$-NHSO_2CH_3$,

$-O-CH_2C(=O)NH_2$,

$-CH_2NH_2$,

$-NHCO_2Et$,

$-OCH_2CH_2CH_2CH_2OH$,

$-CH_2NHSO_2CH_3$,

$-OCH_2CH_2CHOHCH_2OH$,

$-OCH_2CH_2NHCO_2Et$,

-NH-C(=NH₂)-NH₂,
-CH₂CH-CH-CH₂OH,
-CH₂-CHOH-CH₂-NHBoc,
-O-CH₂-CHOH-CH₂-NHBoc,
-OCH₂CH₂CH₂NH₂,
-OCH₂CH₂NHCH₂(CHOH)₂CH₂OH,
-OCH₂CH₂NH(CH₂[(CHOH)₂CH₂OH])₂,
-(CH₂)₄-NHBoc,
-(CH₂)₄-NH₂,
-(CH₂)₄-OH,
-OCH₂CH₂NHSO₂CH₃,
-(CH₂)₃-NH Boc,
-(CH₂)₃NH₂, or
-O-CH₂-CHOH-CH₂-NH-C(=NH)-N(R⁷)₂.

288. (New) The compound of Claim 209, wherein

X is chloro or bromo;

Y is -N(R⁷)₂;

R¹ is hydrogen or C₁-C₃ alkyl;

R² is hydrogen or C₁-C₃ alkyl;

R³ is a group represented by formula (A); and

R⁴ is hydrogen, a group represented by formula (A), or lower alkyl;

at most three R⁶ are other than hydrogen as defined above;

at most three R^L are other than hydrogen as defined above; and

at most 2 Q are nitrogen atoms.

289. (New) The compound of Claim 288, wherein

R⁴ is hydrogen;

at most one R^L is other than hydrogen as defined above;

at most two R⁶ are other than hydrogen as defined above; and

at most 1 Q is a nitrogen atom.

290. (New) The compound of Claim 289, wherein

X is chloro or bromo;

Y is $-N(R^7)_2$;

R^1 is hydrogen or C_1 - C_3 alkyl;

R^2 is hydrogen or C_1 - C_3 alkyl;

R^3 is a group represented by formula (A); and

R^4 is hydrogen, a group represented by formula (A), or lower alkyl;

at most three R^6 are other than hydrogen as defined above;

at most three R^L are other than hydrogen as defined above; and

at most 2 Q are nitrogen atoms.

291. (New) The compound of Claim 290, wherein

R^4 is hydrogen;

at most one R^L is other than hydrogen as defined above;

at most two R^6 are other than hydrogen as defined above; and

at most 1 Q is a nitrogen atom.

292. (New) The compound of Claim 209, wherein x is a single bond.

293. (New) The compound of Claim 209, which is in the form of a pharmaceutically acceptable salt.

294. (New) A composition, comprising:

the compound of Claim 209; and

a P2Y₂ receptor agonist.

295. (New) A composition, comprising:

the compound of Claim 209; and

a bronchodilator.

296. (New) A pharmaceutical composition, comprising the compound of Claim 209 and a pharmaceutically acceptable carrier.

297. (New) A method of promoting hydration of mucosal surfaces, comprising: administering an effective amount of the compound of Claim 209 to a mucosal surface of a subject.

298. (New) A method of restoring mucosal defense, comprising:
topically administering an effective amount of the compound of Claim 209 to a
mucosal surface of a subject in need thereof.
299. (New) A method of blocking sodium channels, comprising:
contacting sodium channels with an effective amount of the compound of Claim 209.
300. (New) A method of treating chronic bronchitis, comprising:
administering an effective amount of the compound of Claim 209 to a subject in need
thereof.
301. (New) A method of treating cystic fibrosis, comprising:
administering an effective amount of the compound of Claim 209 to a subject in need
thereof.
302. (New) A method of treating sinusitis, comprising:
administering an effective amount of the compound of Claim 209 to a subject in need
thereof.
303. (New) A method of treating vaginal dryness, comprising:
administering an effective amount of the compound of Claim 209 to the vaginal tract
of a subject in need thereof.
304. (New) A method of treating dry eye, comprising:
administering an effective amount of the compound of Claim 209 to the eye of a
subject in need thereof.
305. (New) A method of promoting ocular hydration, comprising:
administering an effective amount of the compound of Claim 209 to the eye of a
subject.
306. (New) A method of promoting corneal hydration, comprising:

administering an effective amount of the compound of Claim 209 to the eye of a subject.

307. (New) A method of promoting mucus clearance in mucosal surfaces, comprising:

administering an effective amount of the compound of Claim 209 to a mucosal surface of a subject.

308. (New) A method of treating Sjogren's disease, comprising:

administering an effective amount of the compound of Claim 209 to a subject in need thereof.

309. (New) A method of treating distal intestinal obstruction syndrome, comprising: administering an effective amount of the compound of Claim 209 to a subject in need thereof.

310. (New) A method of treating dry skin, comprising:

administering an effective amount of the compound of Claim 209 to the skin of a subject in need thereof.

311. (New) A method of treating esophagitis, comprising:

administering an effective amount of the compound of Claim 209 to a subject in need thereof.

312. (New) A method of treating dry mouth (xerostomia), comprising:

administering an effective amount of the compound of Claim 209 to the mouth of a subject in need thereof.

313. (New) A method of treating nasal dehydration, comprising:

administering an effective amount of the compound of Claim 209 to the nasal passages of a subject in need thereof.

314. (New) The method of Claim 211, wherein the nasal dehydration is brought on by administering dry oxygen to the subject.

315. (New) A method of preventing ventilator-induced pneumonia , comprising:
administering an effective amount of the compound of Claim 209 to a subject on a ventilator.

316. (New) A method of treating asthma, comprising:
administering an effective amount of the compound of Claim 209 to a subject in need thereof.

317. (New) A method of treating primary ciliary dyskinesia, comprising:
administering an effective amount of the compound of Claim 209 to a subject in need thereof.

318. (New) A method of treating otitis media, comprising:
administering an effective amount of the compound of Claim 209 to a subject in need thereof.

319. (New) A method of inducing sputum for diagnostic purposes, comprising:
administering an effective amount of the compound of Claim 209 to a subject in need thereof.

320. (New) A method of treating chronic obstructive pulmonary disease, comprising:
administering an effective amount of the compound of Claim 209 to a subject in need thereof.

321. (New) A method of treating emphysema, comprising:
administering an effective amount of the compound of Claim 209 to a subject in need thereof.

322. (New) A method of treating pneumonia, comprising:
administering an effective amount of the compound of Claim 209 to a subject in need thereof.

323. (New) A method of treating constipation, comprising:

administering an effective amount of the compound of Claim 209 to a subject in need thereof.

324. (New) The method of Claim 321, wherein the compound is administered orally or via a suppository or enema.

325. (New) A method of treating chronic diverticulitis, comprising:
administering an effective amount of the compound of Claim 209 to a subject in need thereof.

326. (New) A method of treating rhinosinusitis, comprising:
administering an effective amount of the compound of Claim 209 to a subject in need thereof.

327. (New) A method of treating hypertension, comprising administering the compound of Claim 209 to a subject in need thereof.

328. (New) A method of reducing blood pressure, comprising administering the compound of Claim 209 to a subject in need thereof.

329. (New) A method of treating edema, comprising administering the compound of Claim 209 to a subject in need thereof.

330. (New) A method of promoting diuresis, comprising administering the compound of Claim 209 to a subject in need thereof.

331. (New) A method of promoting natriuresis, comprising administering the compound of Claim 209 to a subject in need thereof.

332. (New) A method of promoting saluresis, comprising administering the compound of Claim 209 to a subject in need thereof.